	Type	L #	Hits	Search Text	DBs	Time Stamp	Comments	Error Definition
1	BRS	L1	1047	mycoses	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:17		
2	BRS	L2	5	aerothricin	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:17		
3	BRS	L3	2	1 same 2	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:32		
4	BRS	L4	2	kohchi adj masami.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:33		
5	BRS	L5	7	masubuchi adj kazunao.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:33		
6	BRS	L6	226	murata adj takeshi.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:34		
7	BRS	L7	29	shimma adj nobuo.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:34		
8	BRS	L8	3	(4 or 5 or 6 or 7) and 2	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/02/22 17:35		

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FILE 'HOME' ENTERED AT 08:35:44 ON [redacted] FEB 2003

=> file medline caplus biosis embase scisearch
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'MEDLINE' ENTERED AT 08:36:14 ON 24 FEB 2003

FILE 'CAPLUS' ENTERED AT 08:36:14 ON 24 FEB 2003
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FILE 'SCISEARCH' ENTERED AT 08:36:14 ON 24 FEB 2003
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=> s aerothricin
L1          14 AEROTHRICIN

=> s mycoses
L2       18884 MYCOSES

=> s l1 (p) l2
L3           3 L1 (P) L2

=> duplicate remove l3
DUPLICATE PREFERENCE IS 'CAPLUS, EMBASE, SCISEARCH'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L3
L4           1 DUPLICATE REMOVE L3 (2 DUPLICATES REMOVED)

=> d l4 1 ibib abs

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS          DUPLICATE 1
ACCESSION NUMBER:         2002:136646  CAPLUS
TITLE:                    Aerothricins: a new class of .beta.-glucan inhibitors
AUTHOR(S):                Anon.
SOURCE:                   Expert Opinion on Therapeutic Patents (2002), 12(2),
                          315-318
                          CODEN: EOTPEG; ISSN: 1354-3776
PUBLISHER:                Ashley Publications Ltd.
DOCUMENT TYPE:            Journal; Miscellaneous
LANGUAGE:                 English
AB   Two patent applications assigned to Basilea Pharmaceutica describe
       ***aerothricin***   natural product mols. and a large series of
     semi-synthetic mols. claimed as antifungal drugs that inhibit the
     .beta.-1,3-D-glucan component of the cell wall.  The semi-synthetic mols.,
     considerably larger than the previous hexapeptide echinocandin and
     pneumocandin mols., contain various basic amino acids and a large series
     of aminoalkyl groups and are presumably more water-sol. than the natural
     product   ***aerothricins***   .  Overall, the antifungal in vitro
     susceptibility results compared favorably with other .beta.-glucan
     inhibitors.  Results are also presented for select compds. in mouse models
     of   ***mycoses***   that indicate good activity.  One of the applications
     is largely focused on formulations of pharmacol.-active cyclic peptides
     with absorption enhancers delivered by the intranasal route and provides
     pharmacokinetic data in cynomolgous monkeys in support of the claims.
REFERENCE COUNT:          15    THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> d his

     (FILE 'HOME' ENTERED AT 08:35:44 ON 24 FEB 2003)
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FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH' ENTERED AT 06:14 ON 24 FEB 2003

L1 14 S AEROTHRICIN
L2 18884 S MYCOSES
L3 3 S L1 (P) L2
L4 1 DUPLICATE REMOVE L3 (2 DUPLICATES REMOVED)

=> duplicate remove l1
DUPLICATE PREFERENCE IS 'CAPLUS, BIOSIS, EMBASE, SCISEARCH'
KEEP DUPLICATES FROM MORE THAN ONE FILE? Y/(N):n
PROCESSING COMPLETED FOR L1
L5 9 DUPLICATE REMOVE L1 (5 DUPLICATES REMOVED)

=> s l5 not l4
L6 8 L5 NOT L4

=> d l6 1-8 ibib abs

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:800659 CAPLUS
DOCUMENT NUMBER: 136:95458
TITLE: Cell wall active antifungal agents
AUTHOR(S): Schwartz, Robert E.
CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065-0900, USA
SOURCE: Expert Opinion on Therapeutic Patents (2001), 11(11), 1761-1772
CODEN: EOTPEG; ISSN: 1354-3776
PUBLISHER: Ashley Publications Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. The recent American approval of Cancidas, a semi-synthetic echinocandin, for salvage treatment of aspergillosis has demonstrated that the cell wall is a clin. viable target for treating fungal infections. Recently, a variety of new, sulfated members of the echinocandin lipopeptide family have been reported, which, like other echinocandins, are glucan synthesis inhibitors. In addn., two new classes of lipopeptide glucan synthesis inhibitors, the ***aerothricin*** lipopeptidolactones and the Sankyo lipopeptides, have been identified, as well as a novel member of the papulacandin family of liposaccharide glucan synthesis inhibitors. The first new structural class of glucan synthesis inhibitors discovered in over 20 yr, the so-called sterol glycosides, is reviewed. Five different structural types within this class have been characterized. Finally, several novel compds. with cell wall antifungal activity based on inhibition of chitin synthase are reviewed.
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:545715 CAPLUS
DOCUMENT NUMBER: 135:137714
TITLE: Preparation of ***aerothricins*** , novel cyclic compounds having antifungal activity
INVENTOR(S): Kohchi, Masami; Masubuchi, Kazunao; Murata, Takeshi; Okada, Takehiro; Shimma, Nobuo
PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053322	A2	20010726	WO 2001-EP251	20010111
WO 2001053322	A3	20020131		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,

TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001025148	A5	20010731	AU 2001-25148	20010111	
EP 1254161	A2	20021106	EP 2001-900419	20010111	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001007609	A	20021119	BR 2001-7609	20010111	
US 2001031728	A1	20011018	US 2001-760949	20010116	
PRIORITY APPLN. INFO.:			EP 2000-100807	A	20000117
			WO 2001-EP251	W	20010111

OTHER SOURCE(S): MARPAT 135:137714
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB ***Aerothricin*** derivs. I [R1 = N-(3-aminopropyl)-N-[(2S)-2,5-diaminovaleryl]amino, N-(3-aminopropyl)-N-[5-amino-2-[N,N-bis(2-aminoethyl)amino]valeryl]amino, N-(3-aminopropyl)-N-[5-amino-2-[N-(3-aminopropyl)amino]valeryl]amino, N-(2-aminoethyl)-N-[5-amino-2-[N,N-bis(2-aminoethyl)amino]valeryl]amino or ornithylornithylamino; R2 = H, Me; R3 = H, OH] or pharmaceutically acceptable salts were prepd. for use as fungicides. Thus, ***aerothricin*** 3 (I; R1 = NH2, R2 = R3 = H), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions, was treated with acrylonitrile in MeOH in the presence of Et3N to give ***aerothricin*** 120 (I; R1 = NHCH2CH2CN, R2 = R3 = H). Coupling of ***aerothricin*** 120 with Boc-L-Orn(Boc)-OH (Boc = tert-butoxycarbonyl, Fmoc = 9-fluorenylmethoxycarbonyl) in DMF using BOP reagent, HOBT hydrate and N-ethyldiisopropylamine, followed by deprotection with TFA and hydrogenolysis over 10% Pd on charcoal, afforded ***aerothricin*** 132 [I; R1 = L-Orn-N[(CH2)3NH2], R2 = R3 = H]. The ***aerothricins*** of formula I exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a wide range of dosages. The synthesized ***aerothricins*** are much less cytotoxic to hepatocytes than the known cyclic peptide derivs. WF11243 and LY303366.

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:545525 CAPLUS
DOCUMENT NUMBER: 135:157672
TITLE: Cyclic peptide compositions for nasal administration
INVENTOR(S): Horii, Ikuo; Kobayashi, Kazuko; Shimma, Nobuo; Yanagawa, Akira
PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001052894	A2	20010726	WO 2001-EP163	20010109
WO 2001052894	A3	20020131		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1251827	A2	20021030	EP 2001-909587	20010109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

BR 2001007764 A 200211 BR 2001-7764 20010109
US 2001038824 A1 200111 US 2001-765846 20010111
PRIORITY APPLN. INFO.: EP 2000-101057 A 20000120
WO 2001-EP163 W 20010109

OTHER SOURCE(S): MARPAT 135:157672

AB The present invention relates to a nasal compn. of physiol. active cyclic peptides and salts that are prepd. by homogeneously dispersing an active cyclic peptide such as antifungal cyclic peptides (***aerothricin*** , echinocandin analogs, pneumocandin analogs, and aureobasidin), antibacterial cyclic peptides (e.g., vancomycin, daptomycin), cyclosporin A, lanreotide, vapreotide, vasopressin antagonist and eptifibatide in a unique carrier. The powdery or cryst. carrier contains a water insol. polyvalent metal carrier, or org. carrier having a mean particle size of 20-500 .mu.m, in the presence or absence of an absorption enhancer and by homogeneously adsorbing onto the carrier, and its use for therapeutic treatment of disease such as systemic fungal infections by intranasal administration. The compn. can be nasally administered in a powder form. Thus, 201 mg ***Aerothricin*** 133 and 599 mg CaCO3 (mean particle size: 40-60 .mu.m) were mixed well. Then, 200 .mu.L water was added, and mixing was continued until the mixt. became a paste and the resulting pasty solid was freeze-dried at -50.degree., and further dried at 300.degree. for 3 h in vacuo. After large particles in the dry powder were broken into small particles, 8 mg of calcium stearate was added and the mixt. was passed through 180-.mu.m-mesh. ***Aerothricin*** 133 was synthesized by a series of steps.

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:84834 CAPLUS
DOCUMENT NUMBER: 132:137733
TITLE: Preparation of new antifungal agents, cyclic ***aerothricin*** analogs, for treatment of infectious diseases caused by pathogenic microorganisms
INVENTOR(S): Aoki, Masahiro; Kohchi, Masami; Masubuchi, Kazunao; Mizuguchi, Eisaku; Murata, Takeshi; Ohkuma, Hiroaki; Okada, Takehiro; Sakaitani, Masahiro; Shimma, Nobuo; Watanabe, Takahide; Yanagisawa, Mieko; Yasuda, Yuri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005251	A1	20000203	WO 1999-EP5235	19990722
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335394	AA	20000203	CA 1999-2335394	19990722
AU 9951630	A1	20000214	AU 1999-51630	19990722
AU 754285	B2	20021114		
BR 9912367	A	20010502	BR 1999-12367	19990722
EP 1100816	A1	20010523	EP 1999-936588	19990722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525263	T2	20020813	JP 2000-561207	19990722
US 6489440	B1	20021203	US 1999-360476	19990723

PRIORITY APPLN. INFO.: EP 1998-113744 A 19980723
EP 1999-107637 A 19990416
WO 1999-EP5235 W 19990722

OTHER SOURCE(S): MARPAT 132:137733
GI

/ Structure 1 in file .gra /

AB Novel antifungal ***aerothricins*** I [R1 = guanidino, trialkylammonio, NR10R11, NR15COR14, NR15COCH(NR10R11)R13 (Q), NHCOCHR13NHCOCH(NH2)R13, N[(CH2)nQ]2, N[(CH2)nQ][COCH(NR10R11)R13], or NR15COR12, where n = 2-5, R10, R11 = H, heteroaryl or mono- or diaminoheteroaryl, alkyl optionally substituted with one or more amino groups, aminoalkyl, cyano, guanidino, nitrogen-contg. heterocycle(s) or Ph group(s) contg. an amino, amidino or guanidino group, R12 is tetrahydro-2-pyrrolyl optionally substituted at N by R10 and by an amino group, R13 is a residue from natural or unnatural amino acids, R14 is alkyl substituted with one or more amino, guanidino, nitrogen heterocycle or Ph group contg. an amino, amidino, or guanidino group, and R15 = H or R14-like group; R2 = H, HOSO2, alkyl or alkenyl optionally substituted with acyl, carbamoyl, amino, mono- or dialkylamino; R3 = H, OH, NO2, NH2, acylamino, (alkylcarbamoyl)amino, carboxyl, alkoxy, alkoxycarbonyl, (un)substituted alkyl, alkenyl, or alkynyl; R4 = alkyl, alkenyl, alkoxy or alkenyloxy optionally substituted with alkyl, aryl, cycloalkyl or F; R5 = CONH2, CN, CH2NH2; X is a single bond, aryl, biphenyl, terphenyl optionally contg. one or more heteroatom(s) and/or substituted with halo or alkyl; Y is a single bond, CH2, CH(alkyl), CONH, CON(alkyl); Z = O, NH, alkylamino; m = 0-4 (with provisos)] and pharmaceutically acceptable salts were prepd. Numerous processes for the prepn. of ***aerothricins*** of formula I are described. Thus, ***aerothricin*** 3 [I; R1 = NH2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me] (WF11243), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions in aq. medium, was treated with (2-oxoethyl)carbamic acid tert-Bu ester in MeOH in the presence of sodium cyanoborohydride and acetic acid to afford ***aerothricin*** 111 [I; R1 = N(CH2CH2NH2)2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me]. The ***aerothricins*** of formula I as well as pharmaceutically acceptable salts exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a wide range of dosages. The synthesized ***aerothricins*** are less cytotoxic to hepatocytes than the known cyclic peptide derivs., e.g., WF11243.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 8 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2003:67242 BIOSIS
DOCUMENT NUMBER: PREV200300067242
TITLE: Cyclic compounds.
AUTHOR(S): Aoki, Masahiro (1); Kohchi, Masami; Masubuchi, Kazunao; Mizuguchi, Eisaku; Murata, Takeshi; Ohkuma, Hiroaki; Okada, Takehiro; Sakaitani, Masahiro; Shimma, Nobuo; Watanabe, Takahide; Yanagisawa, Mieko; Yasuda, Yuri
CORPORATE SOURCE: (1) Chigasaki, Japan Japan
ASSIGNEE: Basilea Pharmaceutica AG, Binningen, Switzerland
PATENT INFORMATION: US 6489440 December 03, 2002
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Dec. 3 2002) Vol. 1265, No. 1, pp. No Pagination. http://www.uspto.gov/web/menu/patdata.html. e-file.
ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English

AB The present invention relates to novel ***Aerothricins*** represented by the Formula (I), ##STR1## wherein R1, R2, R3, R4, R5, X, Y, Z, and m are as defined in Claim 1; and pharmaceutically acceptable salts thereof. The present invention also relates to a pharmaceutical composition comprising an ***Aerothricin*** of the Formula (I) and a pharmaceutically acceptable carrier. Furthermore, the present invention relates to the use of such ***Aerothricins*** for the preparation of medicaments, as well as to processes and intermediates for the preparation of the ***Aerothricins*** of the Formula (I).

L6 ANSWER 6 OF 8 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2003:8502 BIOSIS
DOCUMENT NUMBER: PREV200300008502

TITLE: Differential sensitivity between Fks1p and Fks2p against a novel beta-1,3-glucan synthase inhibitor, aerothricin1.

AUTHOR(S): Kondoh, Osamu (1); Takasuka, Tsuyoshi; Arisawa, Mikio; Aoki, Yuko; Watanabe, Takahide

CORPORATE SOURCE: (1) Dept. of Oncology, Nippon Roche Research Center, 200 Kajiwara, Kamakura, Kanagawa, 247-8530, Japan: osamu.kondoh@roche.com Japan

SOURCE: Journal of Biological Chemistry, (November 1 2002) Vol. 277, No. 44, pp. 41744-41749. print.
ISSN: 0021-9258.

DOCUMENT TYPE: Article

LANGUAGE: English

AB Fks1p and Fks2p are catalytic subunits of beta-1,3-glucan synthase, which synthesize beta-1,3-glucan, a main component of the cell wall in Saccharomyces cerevisiae. Although Fks1p and Fks2p are highly homologous, sharing 88.1% identity, it has been shown that Fks2p is more sensitive than Fks1p to one of echinocandin derivatives, which inhibits beta-1,3-glucan synthase activity. Here we show a similar differential sensitivity between Fks1p and Fks2p to a novel beta-1,3-glucan synthase inhibitor, aerothricin1. To investigate the molecular mechanism of this differential sensitivity, we constructed a series of chimeric genes of FKSs and examined their sensitivity to aerothricin1. As a result, it was shown that a region around the fourth extracellular domain of Fks2p, containing 10 different amino acid residues from those of Fks1p, provided Fks1p aerothricin1 sensitivity when the region was replaced with a corresponding region of Fks1p. In order to identify essential amino acid residues responsible for the sensitivity, each of the 10 non-conserved amino acids of Fks1p was substituted into the corresponding amino acid of Fks2p by site-directed mutagenesis. Surprisingly, only one amino acid substitution of Fks1p (K1336I) conferred Fks1p hypersensitivity to aerothricin1. On the other hand, reverse substitution of the corresponding amino acid of Fks2p (I1355K) resulted in loss of hypersensitivity to aerothricin1. These results suggest that the 1355th isoleucine of Fks2p plays a key role in aerothricin1 sensitivity.

L6 ANSWER 7 OF 8 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.

ACCESSION NUMBER: 2001259444 EMBASE

TITLE: Synthesis and biological activity of novel macrocyclic antifungals: Modification of the tyrosine moiety of the lipopeptidolactone FR901469.

AUTHOR: Barrett D.; Tanaka A.; Harada K.; Watabe E.; Maki K.; Ikeda F.

CORPORATE SOURCE: D. Barrett, Medicinal Chemistry Research Lab., Fujisawa Pharmaceutical Co. Ltd., 2-1-6 Kashima, Yodogawa-ku, Osaka 532-8514, Japan. david_barrett@po.fujisawa.co.jp

SOURCE: Bioorganic and Medicinal Chemistry Letters, (23 Jul 2001) 11/14 (1843-1849).
Refs: 15
ISSN: 0960-894X CODEN: BMCLE8

PUBLISHER IDENT.: S 0960-894X(01)00317-1

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 030 Pharmacology
037 Drug Literature Index
052 Toxicology

LANGUAGE: English

SUMMARY LANGUAGE: English

AB A series of tyrosine-modified derivatives of the macrocyclic lipopeptidolactone FR901469 have been prepared and evaluated for in vitro and in vivo antifungal activity and for hemolytic activity towards red blood cells. Compound 14 displayed significantly reduced hemolytic potential at 1 mg/mL and a comparable protective effect to FR901469 in a mouse candidiasis model. .COPYRGT. 2001 Elsevier Science Ltd. All rights reserved.

L6 ANSWER 8 OF 8 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.

ACCESSION NUMBER: 2001050795 EMBASE

TITLE: Update on antifungals targeted to the cell wall: Focus on .beta.-1,3-glucan synthase inhibitors.

AUTHOR: Georgopapadakou N.H.

CORPORATE SOURCE: N.H. Georgopapadakou, Antimicrobial Research, DuPont Pharmaceuticals, Experimental Station P.O. Box 80400,

SOURCE: Wilmington, DE [redacted]9880-0400, United States. nafsikag@aol.com
Expert Opinion [redacted] Investigational Drugs, (2001) [redacted]/2
(269-280).
Refs: 121
ISSN: 1354-3784 CODEN: EOIDER
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 004 Microbiology
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Currently available antifungal drugs for serious infections are either fungistatic and vulnerable to resistance (azoles) or fungicidal but toxic to the host (polyenes). Cell wall-acting antifungals are inherently selective and fungicidal, features that make them particularly attractive for clinical development. Three classes of such compounds, targeted respectively to chitin synthase (nikkomycins), .beta.-1,3-glucan synthase (echinocandins) and mannoproteins (pradimicins/benanomicins) have entered clinical development. While nikkomycins and pradimicins/benanomicins are no longer in development, echinocandins have emerged as potentially clinically useful and three compounds, caspofungin (MK-991, L-743,872), micafungin (FK-463) and anidulafungin (LY-303366) are in late clinical development (Phase II and III).

=> d his

(FILE 'HOME' ENTERED AT 08:35:44 ON 24 FEB 2003)

FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH' ENTERED AT 08:36:14 ON 24 FEB 2003
L1 14 S AEROTHRICIN
L2 18884 S MYCOSES
L3 3 S L1 (P) L2
L4 1 DUPLICATE REMOVE L3 (2 DUPLICATES REMOVED)
L5 9 DUPLICATE REMOVE L1 (5 DUPLICATES REMOVED)
L6 8 S L5 NOT L4

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	29.03	29.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.26	-3.26

STN INTERNATIONAL LOGOFF AT 08:38:16 ON 24 FEB 2003